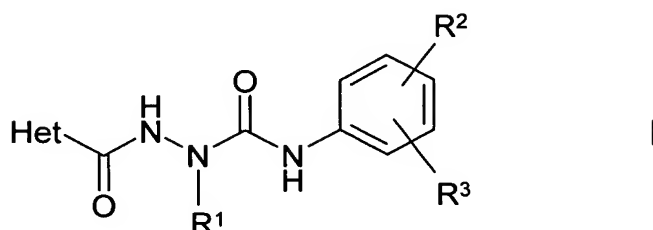


Patent Claims

1. Compounds of the formula I



in which

Het denotes a mono- or bicyclic aromatic heterocyclic radical having from 1 to 3 N, O and/or S atoms which is mono- or disubstituted by Hal,

R¹ denotes A, which may be mono-, di- or trisubstituted by S(O)_mA, Ph, NH₂, NHA, NA₂, OH, OA, PO(OA)₂, ethynyl, vinyl or O(CH₂)_nPh,

R² denotes H, Hal or A,

R³ denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-iminoimidazolidin-1-yl, 2-imino-1*H*-pyrazin-1-yl, 2,6-dioxopiperidin-1-yl, 2-oxopiperazin-1-yl, 2,6-dioxopiperazin-1-yl, 2,5-dioxopyrrolidin-1-yl, 2-oxo-1,3-oxazolidin-3-yl, 3-oxo-2*H*-pyridazin-2-yl, 2-caprolactam-1-yl (= 2-oxoazepan-1-yl), 2-azabicyclo[2.2.2]octan-3-on-2-yl, 5,6-dihydro-1*H*-pyrimidin-2-oxo-1-yl, 2-oxo-1,3-oxazinan-3-yl or 4*H*-1,4-oxazin-4-yl,

A denotes H, unbranched, branched or cyclic alkyl having 1-10 C atoms,

Ph denotes phenyl,

Hal denotes F, Cl, Br or I,

n denotes 1, 2, 3, 4, 5 or 6,

m denotes 0, 1 or 2,

and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

5

2. Compounds according to Claim 1, in which

R¹ denotes alkyl having 1, 2, 3, 4, 5 or 6 C atoms, which may be substituted by ethynyl, phenyl, OA, OH or OA,

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and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

3. Compounds according to Claim 1, in which

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R³ denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-iminoimidazolidin-1-yl, 2-imino-1*H*-pyrazin-1-yl, 2-oxopiperazin-1-yl or 3-oxo-2*H*-pyridazin-2-yl,

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and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

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4. Compounds according to one or more of Claims 1-3, in which

R² denotes H, methyl or F,

and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

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5. Compounds according to one or more of Claims 1-4, in which

Het denotes thienyl, furyl, pyrrolyl, benzofuranyl, benzo[b]thienyl, thiazolyl or oxazolyl, each of which is mono- or disubstituted by Hal,

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and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

- 5 6. Compounds according to one or more of Claims 1-5, in which
- Het denotes thienyl, furyl, pyrrolyl, benzofuranyl, benzo[b]thienyl, thiazolyl or oxazolyl, each of which is mono- or disubstituted by Hal,
- 10 R^1 denotes alkyl having 1, 2, 3, 4, 5 or 6 C atoms, which may be substituted by ethynyl, phenyl, OA, OH or OA,
- R^2 denotes H, Hal or A,
- R^3 denotes 2-oxopiperidin-1-yl, 2-oxopyrrolidin-1-yl, 2-oxo-1*H*-pyridin-1-yl, 3-oxomorpholin-4-yl, 4-oxo-1*H*-pyridin-1-yl, 2-oxo-1*H*-pyrazin-1-yl, 2-oxoimidazolidin-1-yl, 2-iminopiperidin-1-yl, 2-iminopyrrolidin-1-yl, 3-iminomorpholin-4-yl, 2-iminoimidazolidin-1-yl, 2-imino-1*H*-pyrazin-1-yl, 2-oxopiperazin-1-yl or 3-oxo-2*H*-pyridazin-2-yl,
- 15 A denotes H, unbranched, branched or cyclic alkyl having 1-10 C atoms,
- 20 Ph denotes phenyl,
- Hal denotes F, Cl, Br or I,
- n denotes 1, 2, 3, 4, 5 or 6,
- 25 m denotes 0, 1 or 2,

and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

- 30 7. Compounds according to Claim 1 selected from the group consisting of

1-(5-chlorothiophen-2-ylcarbonyl)-4-[4-(3-oxomorpholin-4-yl)phenyl]-2-propylsemicarbazide,

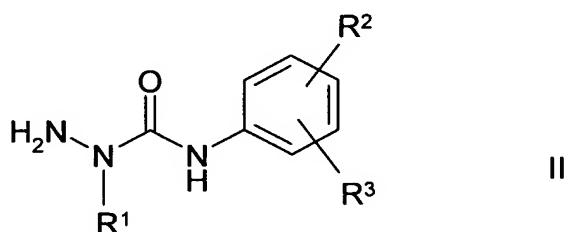
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- 1-(5-chlorothien-2-ylcarbonyl)-4-[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]-2-(prop-2-ynyl)semicarbazide,
1-(3-chlorothien-2-ylcarbonyl)-4-[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]-2-benzylsemicarbazide,
5 1-(5-bromothien-2-ylcarbonyl)-4-[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]-2-benzylsemicarbazide,
1-(3-chlorobenzo[b]thienyl-2-ylcarbonyl)-4-[3-methyl-4-(3-oxomorpholin-4-yl)phenyl]-2-benzylsemicarbazide,
10 1-(5-chlorothien-2-ylcarbonyl)-4-[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]-2-benzylsemicarbazide,
1-(5-bromothien-2-ylcarbonyl)-4-[3-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]-2-(2-methoxyethyl)semicarbazide,
15 1-(3-chlorobenzo[b]thienyl-2-ylcarbonyl)-4-[3-fluoro-4-(3-oxomorpholin-4-yl)phenyl]-2-(2-methoxyethyl)semicarbazide,
1-(3-chlorothien-2-ylcarbonyl)-4-[3-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]-2-(2-methoxyethyl)semicarbazide,
20 1-(5-chlorothien-2-ylcarbonyl)-4-[3-fluoro-4-(3-oxomorpholin-4-yl)-phenyl]-2-(2-methoxyethyl)semicarbazide,
1-(3-chlorothien-2-ylcarbonyl)-4-[4-(2-oxo-1*H*-pyrazin-1-yl)phenyl]-2-cyclopropylmethylsemicarbazide,
1-(3-chlorothien-2-ylcarbonyl)-4-[4-(2-oxo-1*H*-pyridin-1-yl)phenyl]-2-cyclopropylmethylsemicarbazide,
25 1-(3-chlorothien-2-ylcarbonyl)-4-[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]-2-cyclopropylmethylsemicarbazide,
1-(5-chlorothien-2-ylcarbonyl)-4-[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]-2-(2-methoxyethyl)semicarbazide,
30 1-(5-chlorothien-2-ylcarbonyl)-4-[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]-2-cyclopropylmethylsemicarbazide,
1-(5-bromothien-2-ylcarbonyl)-4-[3-methyl-4-(3-oxomorpholin-4-yl)-phenyl]-2-cyclopropylmethylsemicarbazide,
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and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios.

- 5 8. Process for the preparation of compounds of the formula I according to Claims 1-7 and pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, characterised in that
- a) a compound of the formula II

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in which

R^1 , R^2 and R^3 have the meaning indicated in Claim 1,

20 is reacted with a compound of the formula III



in which

25 L denotes Cl, Br, I or a free or reactively functionally modified OH group, and

Het has the meaning indicated in Claim 1,

30 and/or

a base or acid of the formula I is converted into one of its salts.

9. Compounds of the formula I according to one or more of Claims 1 to 7 as inhibitors of coagulation factor Xa.

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10. Compounds of the formula I according to one or more of Claims 1 to 7 as inhibitors of coagulation factor VIIa.
- 5 11. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 7 and/or pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios, and, if desired, excipients and/or adjuvants.
- 10 12. Medicaments comprising at least one compound of the formula I according to one or more of Claims 1 to 7 and/or pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mixtures thereof in all ratios, and at least one further medicament active ingredient.
- 15 13. Use of compounds according to Claims 1 to 7 and/or physiologically acceptable salts, salts and solvates thereof for the preparation of a medicament for the treatment of thrombosis, myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina pectoris, restenosis after angioplasty, claudicatio intermittens, migraine, tumours, tumour diseases and/or tumour metastases.
- 20 14. Set (kit) consisting of separate packs of
- 25 (a) an effective amount of a compound of the formula I according to one or more of Claims 1 to 7 and/or pharmaceutically usable derivatives, solvates, salts and stereoisomers thereof, including mix-
- 30 tures thereof in all ratios,
- and
- (b) an effective amount of a further medicament active ingredi-
- 35 ent.

15. Use of compounds of the formula I according to one or more of
Claims 1 to 7 and/or pharmaceutically usable derivatives, solvates,
salts and stereoisomers thereof, including mixtures thereof in all
ratios,
5 for the preparation of a medicament for the treatment of thrombosis,
myocardial infarction, arteriosclerosis, inflammation, apoplexy, angina
pectoris, restenosis after angioplasty, claudicatio intermittens,
migraine, tumours, tumour diseases and/or tumour metastases,
10 in combination with at least one further medicament active ingredient.

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